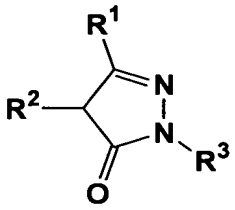
CLAIMS

1. A medicament for preventing and/or treating inflammatory bowel disease which comprises as an active ingredient a pyrazolone derivative represented by the following formula (I) or a physiologically acceptable salt thereof, or a hydrate thereof or a solvate thereof:



(I)

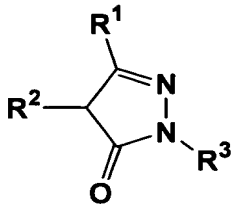
wherein R^1 represents a hydrogen atom, an aryl group, a C_{1-5} alkyl group, or a C_{3-6} (total carbon number) alkoxycarbonylalkyl group; R^2 represents a hydrogen atom, an aryloxy group, an arylmercapto group, a C_{1-5} alkyl group or a C_{1-3} hydroxyalkyl group; or R^1 and R^2 are combined with each other to represent C_{3-5} alkylene group; and R^3 represents a hydrogen atom, a C_{1-5} alkyl group, a C_{5-7} cycloalkyl group, a C_{1-3} hydroxyalkyl group, a benzyl group, a naphthyl group, a phenyl group, or a phenyl group substituted with the same or different 1 to 3 substituents selected from the group consisting of a C_{1-5} alkyl group, a C_{1-5} alkoxy group, a C_{1-3} hydroxyalkyl group, a C_{2-5} (total carbon number) alkoxycarbonyl group, a C_{1-3} alkylmercapto group, a C_{1-4} alkylamino group, a C_{2-8} (total carbon number) dialkylamino group, a halogen atom, a trifluoromethyl group, a carboxyl group, a cyano group, a hydroxyl group, a nitro group, an amino group and an acetamide group.

2. The medicament according to claim 1 wherein the pyrazolone derivative represented by the formula (I) is 3-methyl-1-phenyl-2-pyrazolin-5-one.

3. The medicament according to claim 1 or 2 wherein the inflammatory bowel disease is ulcerative colitis or Crohn's disease.

4. The medicament according to claim 3 wherein the ulcerative colitis is intractable ulcerative colitis or fulminant ulcerative colitis.

5. An intestinal mucosa protective agent which comprises as an active ingredient a pyrazolone derivative represented by the following formula (I) or a physiologically acceptable salt thereof, or a hydrate thereof or a solvate thereof:

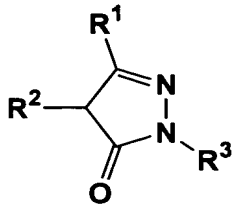


(I)

wherein R^1 represents a hydrogen atom, an aryl group, a C_{1-5} alkyl group, or a C_{3-6} (total carbon number) alkoxycarbonylalkyl group; R^2 represents a hydrogen atom, an aryloxy group, an arylmercapto group, a C_{1-5} alkyl group or a C_{1-3} hydroxyalkyl group; or R^1 and R^2 are combined with each other to represent C_{3-5} alkylene group; and R^3 represents a hydrogen atom, a C_{1-5} alkyl group, a C_{5-7} cycloalkyl group, a C_{1-3} hydroxyalkyl group, a benzyl group, a naphthyl group, a phenyl group, or a phenyl group substituted with the same or different 1 to 3 substituents selected from the group consisting of a C_{1-5} alkyl group, a C_{1-5} alkoxy group, a C_{1-3} hydroxyalkyl group, a C_{2-5} (total carbon number) alkoxycarbonyl group, a C_{1-3} alkylmercapto group, a C_{1-4} alkylamino group, a C_{2-8} (total carbon number) dialkylamino group, a halogen atom, a trifluoromethyl group, a carboxyl group, a cyano group, a hydroxyl group, a nitro group, an amino group and an acetamide group.

6. The intestinal mucosa protective agent according to claim 5 wherein the pyrazolone derivative represented by the formula (I) is 3-methyl-1-phenyl-2-pyrazolin-5-one.

7. An agent for inhibiting the activation of neutrophilic leucocytes which comprises as an active ingredient a pyrazolone derivative represented by the following formula (I) or a physiologically acceptable salt thereof, or a hydrate thereof or a solvate thereof:

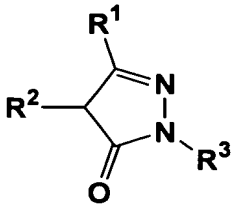


(I)

wherein R^1 represents a hydrogen atom, an aryl group, a C_{1-5} alkyl group, or a C_{3-6} (total carbon number) alkoxycarbonylalkyl group; R^2 represents a hydrogen atom, an aryloxy group, an arylmercapto group, a C_{1-5} alkyl group or a C_{1-3} hydroxyalkyl group; or R^1 and R^2 are combined with each other to represent C_{3-5} alkylene group; and R^3 represents a hydrogen atom, a C_{1-5} alkyl group, a C_{5-7} cycloalkyl group, a C_{1-3} hydroxyalkyl group, a benzyl group, a naphthyl group, a phenyl group, or a phenyl group substituted with the same or different 1 to 3 substituents selected from the group consisting of a C_{1-5} alkyl group, a C_{1-5} alkoxy group, a C_{1-3} hydroxyalkyl group, a C_{2-5} (total carbon number) alkoxycarbonyl group, a C_{1-3} alkylmercapto group, a C_{1-4} alkylamino group, a C_{2-8} (total carbon number) dialkylamino group, a halogen atom, a trifluoromethyl group, a carboxyl group, a cyano group, a hydroxyl group, a nitro group, an amino group and an acetamide group.

8. The agent for inhibiting the activation of neutrophilic leucocytes according to claim 7 wherein the pyrazolone derivative represented by the formula (I) is 3-methyl-1-phenyl-2-pyrazolin-5-one.

9. An agent for inhibiting myeloperoxidase activity which comprises as an active ingredient a pyrazolone derivative represented by the following formula (I) or a physiologically acceptable salt thereof, or a hydrate thereof or a solvate thereof:



(I)

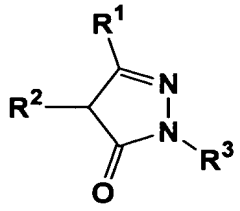
wherein R^1 represents a hydrogen atom, an aryl group, a C_{1-5} alkyl group, or a C_{3-6} (total carbon number) alkoxycarbonylalkyl group; R^2 represents a hydrogen atom, an aryloxy

group, an arylmercapto group, a C_{1-5} alkyl group or a C_{1-3} hydroxyalkyl group; or R^1 and R^2 are combined with each other to represent C_{3-5} alkylene group; and R^3 represents a hydrogen atom, a C_{1-5} alkyl group, a C_{5-7} cycloalkyl group, a C_{1-3} hydroxyalkyl group, a benzyl group, a naphthyl group, a phenyl group, or a phenyl group substituted with the same or different 1 to 3 substituents selected from the group consisting of a C_{1-5} alkyl group, a C_{1-5} alkoxy group, a C_{1-3} hydroxyalkyl group, a C_{2-5} (total carbon number) alkoxycarbonyl group, a C_{1-3} alkylmercapto group, a C_{1-4} alkylamino group, a C_{2-8} (total carbon number) dialkylamino group, a halogen atom, a trifluoromethyl group, a carboxyl group, a cyano group, a hydroxyl group, a nitro group, an amino group and an acetamide group.

10. The agent for inhibiting myeloperoxidase activity according to claim 9 wherein the pyrazolone derivative represented by the formula (I) is 3-methyl-1-phenyl-2-pyrazolin-5-one.

11. The agent for inhibiting myeloperoxidase activity according to claim 9 or 10 wherein the myeloperoxidase is a myeloperoxidase of large intestinal mucosa.

12. A method for preventing and/or treating inflammatory bowel disease which comprises a step of administering to mammals such as a human, a pyrazolone derivative represented by the following formula (I) or a physiologically acceptable salt thereof, or a hydrate thereof or a solvate thereof, at an amount that is effective for prevention and/or treatment of the disease.



(I)

wherein R^1 represents a hydrogen atom, an aryl group, a C_{1-5} alkyl group, or a C_{3-6} (total carbon number) alkoxycarbonylalkyl group; R^2 represents a hydrogen atom, an aryloxy group, an arylmercapto group, a C_{1-5} alkyl group or a C_{1-3} hydroxyalkyl group; or R^1 and R^2 are combined with each other to represent C_{3-5} alkylene group; and R^3 represents

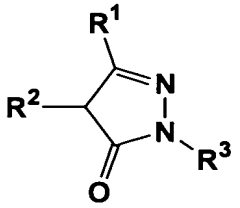
a hydrogen atom, a C_{1-5} alkyl group, a C_{5-7} cycloalkyl group, a C_{1-3} hydroxyalkyl group, a benzyl group, a naphthyl group, a phenyl group, or a phenyl group substituted with the same or different 1 to 3 substituents selected from the group consisting of a C_{1-5} alkyl group, a C_{1-5} alkoxy group, a C_{1-3} hydroxyalkyl group, a C_{2-5} (total carbon number) alkoxycarbonyl group, a C_{1-3} alkylmercapto group, a C_{1-4} alkylamino group, a C_{2-8} (total carbon number) dialkylamino group, a halogen atom, a trifluoromethyl group, a carboxyl group, a cyano group, a hydroxyl group, a nitro group, an amino group and an acetamide group.

13. The method according to claim 12 wherein the pyrazolone derivative represented by the formula (I) is 3-methyl-1-phenyl-2-pyrazolin-5-one.

14. The method according to claim 12 or 13 wherein the inflammatory bowel disease is ulcerative colitis or Crohn's disease.

15. The method according to claim 14 wherein the ulcerative colitis is intractable ulcerative colitis or fulminant ulcerative colitis.

16. A method for protecting the intestinal mucosa which comprises a step of administering to mammals such as a human, a pyrazolone derivative represented by the following formula (I) or a physiologically acceptable salt thereof, or a hydrate thereof or a solvate thereof, at an effective amount.



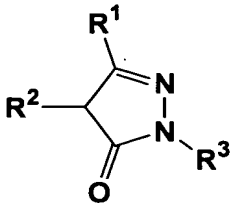
(I)

wherein R^1 represents a hydrogen atom, an aryl group, a C_{1-5} alkyl group, or a C_{3-6} (total carbon number) alkoxycarbonylalkyl group; R^2 represents a hydrogen atom, an aryloxy group, an arylmercapto group, a C_{1-5} alkyl group or a C_{1-3} hydroxyalkyl group; or R^1 and R^2 are combined with each other to represent C_{3-5} alkylene group; and R^3 represents a hydrogen atom, a C_{1-5} alkyl group, a C_{5-7} cycloalkyl group, a C_{1-3} hydroxyalkyl group, a benzyl group, a naphthyl group, a phenyl group, or a phenyl group substituted with the

same or different 1 to 3 substituents selected from the group consisting of a C_{1-5} alkyl group, a C_{1-5} alkoxy group, a C_{1-3} hydroxyalkyl group, a C_{2-5} (total carbon number) alkoxycarbonyl group, a C_{1-3} alkylmercapto group, a C_{1-4} alkylamino group, a C_{2-8} (total carbon number) dialkylamino group, a halogen atom, a trifluoromethyl group, a carboxyl group, a cyano group, a hydroxyl group, a nitro group, an amino group and an acetamide group.

17. The method according to claim 16 wherein the pyrazolone derivative represented by the formula (I) is 3-methyl-1-phenyl-2-pyrazolin-5-one.

18. A method for inhibiting the activation of neutrophilic leucocytes which comprises a step of administering to mammals such as a human, a pyrazolone derivative represented by the following formula (I) or a physiologically acceptable salt thereof, or a hydrate thereof or a solvate thereof, at an effective amount.



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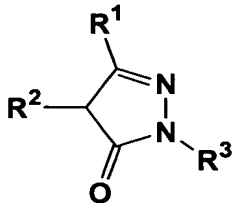
wherein R^1 represents a hydrogen atom, an aryl group, a C_{1-5} alkyl group, or a C_{3-6} (total carbon number) alkoxycarbonylalkyl group; R^2 represents a hydrogen atom, an aryloxy group, an arylmercapto group, a C_{1-5} alkyl group or a C_{1-3} hydroxyalkyl group; or R^1 and R^2 are combined with each other to represent C_{3-5} alkylene group; and R^3 represents a hydrogen atom, a C_{1-5} alkyl group, a C_{5-7} cycloalkyl group, a C_{1-3} hydroxyalkyl group, a benzyl group, a naphthyl group, a phenyl group, or a phenyl group substituted with the same or different 1 to 3 substituents selected from the group consisting of a C_{1-5} alkyl group, a C_{1-5} alkoxy group, a C_{1-3} hydroxyalkyl group, a C_{2-5} (total carbon number) alkoxycarbonyl group, a C_{1-3} alkylmercapto group, a C_{1-4} alkylamino group, a C_{2-8} (total carbon number) dialkylamino group, a halogen atom, a trifluoromethyl group, a carboxyl group, a cyano group, a hydroxyl group, a nitro group, an amino group and an acetamide group.

19. The method according to claim 18 wherein the pyrazolone derivative represented by the formula (I) is 3-methyl-1-phenyl-2-pyrazolin-5-one.

20. A method for inhibiting myeloperoxidase activity which comprises a step of administering to mammals such as a human, a pyrazolone derivative represented by the following formula (I) or a physiologically acceptable salt thereof, or a hydrate thereof or a solvate thereof, at an effective amount.



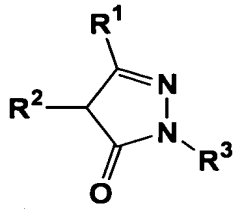
(I)

wherein R^1 represents a hydrogen atom, an aryl group, a C_{1-5} alkyl group, or a C_{3-6} (total carbon number) alkoxycarbonylalkyl group; R^2 represents a hydrogen atom, an aryloxy group, an arylmercapto group, a C_{1-5} alkyl group or a C_{1-3} hydroxyalkyl group; or R^1 and R^2 are combined with each other to represent C_{3-5} alkylene group; and R^3 represents a hydrogen atom, a C_{1-5} alkyl group, a C_{5-7} cycloalkyl group, a C_{1-3} hydroxyalkyl group, a benzyl group, a naphthyl group, a phenyl group, or a phenyl group substituted with the same or different 1 to 3 substituents selected from the group consisting of a C_{1-5} alkyl group, a C_{1-5} alkoxy group, a C_{1-3} hydroxyalkyl group, a C_{2-5} (total carbon number) alkoxycarbonyl group, a C_{1-3} alkylmercapto group, a C_{1-4} alkylamino group, a C_{2-8} (total carbon number) dialkylamino group, a halogen atom, a trifluoromethyl group, a carboxyl group, a cyano group, a hydroxyl group, a nitro group, an amino group and an acetamide group.

21. The method according to claim 20 wherein the pyrazolone derivative represented by the formula (I) is 3-methyl-1-phenyl-2-pyrazolin-5-one.

22. The method according to claim 20 or 21 wherein the myeloperoxidase is a myeloperoxidase of large intestinal mucosa.

23. Use of a pyrazolone derivative represented by following formula (I) or a physiologically acceptable salt thereof, or a hydrate thereof or a solvate thereof, for the production of a medicament for preventing and/or treating inflammatory bowel disease.



(I)

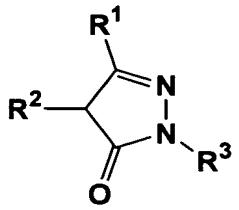
wherein R^1 represents a hydrogen atom, an aryl group, a C_{1-5} alkyl group, or a C_{3-6} (total carbon number) alkoxycarbonylalkyl group; R^2 represents a hydrogen atom, an aryloxy group, an arylmercapto group, a C_{1-5} alkyl group or a C_{1-3} hydroxyalkyl group; or R^1 and R^2 are combined with each other to represent C_{3-5} alkylene group; and R^3 represents a hydrogen atom, a C_{1-5} alkyl group, a C_{5-7} cycloalkyl group, a C_{1-3} hydroxyalkyl group, a benzyl group, a naphthyl group, a phenyl group, or a phenyl group substituted with the same or different 1 to 3 substituents selected from the group consisting of a C_{1-5} alkyl group, a C_{1-5} alkoxy group, a C_{1-3} hydroxyalkyl group, a C_{2-5} (total carbon number) alkoxycarbonyl group, a C_{1-3} alkylmercapto group, a C_{1-4} alkylamino group, a C_{2-8} (total carbon number) dialkylamino group, a halogen atom, a trifluoromethyl group, a carboxyl group, a cyano group, a hydroxyl group, a nitro group, an amino group and an acetamide group.

24. The use according to claim 23 wherein the pyrazolone derivative represented by the formula (I) is 3-methyl-1-phenyl-2-pyrazolin-5-one.

25. The use according to claim 23 or 24 wherein the inflammatory bowel disease is ulcerative colitis or Crohn's disease.

26. The use according to claim 25 wherein the ulcerative colitis is intractable ulcerative colitis or fulminant ulcerative colitis.

27. Use of a pyrazolone derivative represented by following formula (I) or a physiologically acceptable salt thereof, or a hydrate thereof or a solvate thereof, for the production of an intestinal mucosa protective agent.

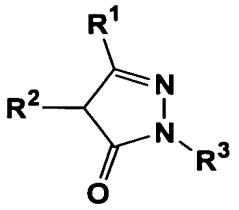


(I)

wherein R^1 represents a hydrogen atom, an aryl group, a C_{1-5} alkyl group, or a C_{3-6} (total carbon number) alkoxycarbonylalkyl group; R^2 represents a hydrogen atom, an aryloxy group, an arylmercapto group, a C_{1-5} alkyl group or a C_{1-3} hydroxyalkyl group; or R^1 and R^2 are combined with each other to represent C_{3-5} alkylene group; and R^3 represents a hydrogen atom, a C_{1-5} alkyl group, a C_{5-7} cycloalkyl group, a C_{1-3} hydroxyalkyl group, a benzyl group, a naphthyl group, a phenyl group, or a phenyl group substituted with the same or different 1 to 3 substituents selected from the group consisting of a C_{1-5} alkyl group, a C_{1-5} alkoxy group, a C_{1-3} hydroxyalkyl group, a C_{2-5} (total carbon number) alkoxycarbonyl group, a C_{1-3} alkylmercapto group, a C_{1-4} alkylamino group, a C_{2-8} (total carbon number) dialkylamino group, a halogen atom, a trifluoromethyl group, a carboxyl group, a cyano group, a hydroxyl group, a nitro group, an amino group and an acetamide group.

28. The use according to claim 27 wherein the pyrazolone derivative represented by the formula (I) is 3-methyl-1-phenyl-2-pyrazolin-5-one.

29. Use of a pyrazolone derivative represented by following formula (I) or a physiologically acceptable salt thereof, or a hydrate thereof or a solvate thereof, for the production of an agent for inhibiting the activation of neutrophilic leucocytes.



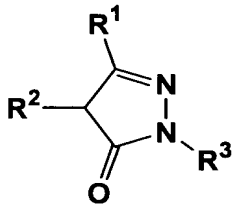
(I)

wherein R^1 represents a hydrogen atom, an aryl group, a C_{1-5} alkyl group, or a C_{3-6} (total carbon number) alkoxycarbonylalkyl group; R^2 represents a hydrogen atom, an aryloxy group, an arylmercapto group, a C_{1-5} alkyl group or a C_{1-3} hydroxyalkyl group; or R^1

and R^2 are combined with each other to represent C_{3-5} alkylene group; and R^3 represents a hydrogen atom, a C_{1-5} alkyl group, a C_{5-7} cycloalkyl group, a C_{1-3} hydroxyalkyl group, a benzyl group, a naphthyl group, a phenyl group, or a phenyl group substituted with the same or different 1 to 3 substituents selected from the group consisting of a C_{1-5} alkyl group, a C_{1-5} alkoxy group, a C_{1-3} hydroxyalkyl group, a C_{2-5} (total carbon number) alkoxycarbonyl group, a C_{1-3} alkylmercapto group, a C_{1-4} alkylamino group, a C_{2-8} (total carbon number) dialkylamino group, a halogen atom, a trifluoromethyl group, a carboxyl group, a cyano group, a hydroxyl group, a nitro group, an amino group and an acetamide group.

30. The use according to claim 29 wherein the pyrazolone derivative represented by the formula (I) is 3-methyl-1-phenyl-2-pyrazolin-5-one.

31. Use of a pyrazolone derivative represented by following formula (I) or a physiologically acceptable salt thereof, or a hydrate thereof or a solvate thereof, for the production of an agent for inhibiting myeloperoxidase activity.



(I)

wherein R^1 represents a hydrogen atom, an aryl group, a C_{1-5} alkyl group, or a C_{3-6} (total carbon number) alkoxycarbonylalkyl group; R^2 represents a hydrogen atom, an aryloxy group, an arylmercapto group, a C_{1-5} alkyl group or a C_{1-3} hydroxyalkyl group; or R^1 and R^2 are combined with each other to represent C_{3-5} alkylene group; and R^3 represents a hydrogen atom, a C_{1-5} alkyl group, a C_{5-7} cycloalkyl group, a C_{1-3} hydroxyalkyl group, a benzyl group, a naphthyl group, a phenyl group, or a phenyl group substituted with the same or different 1 to 3 substituents selected from the group consisting of a C_{1-5} alkyl group, a C_{1-5} alkoxy group, a C_{1-3} hydroxyalkyl group, a C_{2-5} (total carbon number) alkoxycarbonyl group, a C_{1-3} alkylmercapto group, a C_{1-4} alkylamino group, a C_{2-8} (total carbon number) dialkylamino group, a halogen atom, a trifluoromethyl group, a carboxyl

group, a cyano group, a hydroxyl group, a nitro group, an amino group and an acetamide group.

32. The use according to claim 31 wherein the pyrazolone derivative represented by the formula (I) is 3-methyl-1-phenyl-2-pyrazolin-5-one.

33. The use according to claim 31 or 32 wherein the myeloperoxidase is a myeloperoxidase of large intestinal mucosa.